

IFW



**PATENT**

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**  
(Case No. 03-086-A)

In re Application of: )  
 )  
 ) **Groneberg et al.** )  
 ) **Group Art Unit: 1625**  
Serial No.: 10/823,377 )  
 ) **Examiner: Seaman, D. Margaret**  
Filing Date: April 12, 2004 )  
 )  
For: **Compounds and Methods of Use** )

Mail Stop AMENDMENT  
Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

**TRANSMITTAL LETTER**

1. We are transmitting herewith the attached papers for the above-identified patent application:

- ☒ Information Disclosure Statement
- ☒ Form PTO/SB/08 (2 sheets)
- ☒ Copies of cited references (4 foreign patents and 12 other documents)

2. **GENERAL AUTHORIZATION TO CHARGE OR CREDIT FEES:** Please charge any additional fees or credit overpayment to Deposit Account No. **13-2490**. A duplicate copy of this sheet is enclosed.

3. **CERTIFICATE OF MAILING UNDER 37 CFR § 1.8:** The undersigned hereby certifies that this Transmittal Letter and the papers, as described in paragraph 1 herein-above, are being deposited with the United States Postal Service with sufficient postage as "First Class Mail" in an envelope addressed to: Mail Stop AMENDMENT, Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450, on this 3<sup>rd</sup> day of **January, 2006**.

Respectfully submitted,

By: *Stephen H. Docter*  
**Stephen H. Docter**  
**Registration No. 44,659**



**PATENT**

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**  
**(Case No. 03-086-A)**

re Application of: )  
 )  
Groneberg et al. )  
 ) **Group Art Unit: 1625**  
Serial No.: 10/823,377 )  
 ) **Examiner: Seaman, D. Margaret**  
Filing Date: April 12, 2004 )  
 )  
For: **Compounds and Methods of Use** )

**INFORMATION DISCLOSURE STATEMENT**

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Dear Sir:

Pursuant to the duty of disclosure provided by 35 C.F.R. § 1.56 and §§ 1.97-98, the Applicants wish to make the following references of record in the above-identified application. Copies of the non-US patent references are enclosed. The references are also listed in the PTO/SB/08 form enclosed herewith. It is requested that the documents be given careful consideration and that they be cited of record in the prosecution history of the present application so that they will appear on the face of the patent issuing from the present application.

Portions of the references may be material to the examination of the pending claims, however no such admission is intended. 37 C.F.R. 1.97 (h). The references have not been reviewed in sufficient detail to make any other representation and, in particular, no representation is intended as to the relative importance of any portion of the

references. This Statement is not a representation that the cited references have effective dates early enough to be "prior art" within the meaning of 35 U.S.C. sections 102 or 103, nor is this submission to be construed as a representation that a search has been made.

### **CITED REFERENCES**

#### **FOREIGN PATENT DOCUMENTS**

| No. | Document No.      | Date       | Country | Class | Subclass |
|-----|-------------------|------------|---------|-------|----------|
| 1.  | WO 2004/054584 A1 | 07-01-2004 | PCT     |       |          |
| 2.  | EP 0035868 A      | 09-16-1981 | Europe  |       |          |
| 3.  | WO 02/076964 A    | 10-03-2002 | PCT     |       |          |
| 4.  | WO 97/25315 A     | 07-17-1997 | PCT     |       |          |

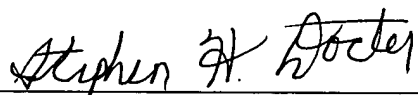
#### **OTHER DOCUMENTS - Including Author, Title, Date, Pertinent Pages, Etc.**

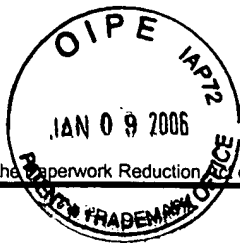
| No. | Document  |
|-----|---|
| 5.  | JESSELL et al., "Pain and Analgesia" in <u>Principles of Neural Science, 3<sup>rd</sup> Edition</u> , 1991, E.R. Kandel, J.H. Schwartz, T.M. Jessell, editors, pp.385-399.                                  |
| 6.  | M.J. MILLAN, "The Induction of Pain: An Integrative Review," <i>Prog. Neurobiol.</i> , 1999, 57:1-164.  |
| 7.  | REGOLI et al., "Pharmacology of Bradykinin and Related Kinins," <i>Pharmacological Rev.</i> , 1980, 32(1):1-46.   |
| 8.  | MENKE et al., "Expression Cloning of a Human B <sub>1</sub> Bradykinin Receptor," <i>J. Biol. Chem.</i> , 1994, 269:21583-21586.  |
| 9.  | HESS et al., "Cloning and Pharmacological Characterization of a Human Bradykinin (BK-2) Receptor," <i>Biochem. Biophys. Res. Commun.</i> , 1992, 184:260-268.   |
| 10. | F. MARCEAU et al., "Kinin B <sub>1</sub> receptors: a review," <i>Immunopharmacology</i> , 1995, 30:1-26.   |
| 11. | E.J. COREY et al., "Highly Enantioselective Borane Reduction of Ketones Catalyzed by Chiral Oxazaborolidines. Mechanism and Synthetic Implications," <i>J. Am. Chem. Soc.</i> , 1987, v. 109, p. 5551-5553. |
| 12. | T. OHKUMA et al., "Practical Enantioselective Hydrogenation of Aromatic Ketones," <i>J. Am. Chem Soc.</i> , 1995, v. 117, pp. 2675-2676.  |

13. THOMPSON et al., "Direct Conversion of Activated Alcohols to Azides Using Diphenyl Phosphorazidate. A Practical Alternative to Mitsunobu Conditions," *J. Org. Chem.*, 1993, 58 (22):5886-5888.
14. DG BATT et al., "Disubstituted Indazoles as Potent Antagonists of the Integrin  $\alpha_v\beta_3$ ," *Journal of Medicinal Chemistry*, 2000, 43:41-58.
15. G. WAGNER et al., "Synthesis of 3-(p- and m-amidinophenyl)-3- arylsulfonylaminopropionic acid amide hydroiodides," *Chemical Abstracts*, March 29, 1982, 96(13), abstract no. 104710e.
16. G. WAGNER et al., "Synthese von 3-(p- und m-Amidinophenyl)-3- arylsulfonylaminopropionsäureamidhydroiodiden," *Pharmazie*, 1981, 36(9):607-609.

Respectfully submitted,  
**McDonnell Boehnen  
Hulbert & Berghoff LLP**

Date: **January 3, 2006**

By:   
**Stephen H. Docter**  
**Registration No. 44,659**



Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

Substitute for form 1449/PTO

**Complete if Known**

|                        |                     |
|------------------------|---------------------|
| Application No.        | 10/823,377          |
| Filing Date:           | April 12, 2004      |
| First Named Inventor   | Groneberg           |
| Art Unit               | 1625                |
| Examiner Name          | Seaman, D. Margaret |
| Attorney Docket Number | 03-086-A            |

**INFORMATION DISCLOSURE  
STATEMENT BY APPLICANT**

(Use as many sheets as necessary)

Sheet 1 of 2

**U.S. PATENT DOCUMENTS**

| Examiner<br>Initials* | Cite<br>No. <sup>1</sup> | Document Number                          | Publication Date<br>MM-DD-YYYY | Name of Patentee or<br>Applicant of Cited Document | Pages, Columns, Lines, Where<br>Relevant Passages or Relevant<br>Figures Appear |
|-----------------------|--------------------------|--|--------------------------------|--|---|
|                       |                          | Number-Kind Code <sup>2</sup> (if known) |                                |  |   |
|                       |                          |  |                                |  |   |
|                       |                          |  |                                |  |   |
|                       |                          |  |                                |  |   |

**FOREIGN PATENT DOCUMENTS**

| Examiner<br>Initials* | Cite<br>No.<br><sub>1</sub> | Foreign Patent Document  | Publication<br>Date<br>MM-DD-YYYY | Name of Patentee or Applicant of<br>Cited Document | Pages, Columns, Lines,<br>Where Relevant Passages<br>Or Relevant Figures Appear | T <sup>6</sup> |
|-----------------------|-----------------------------|--|-----------------------------------|--|---|----------------|
|                       |                             | Country Code <sup>3</sup> -Number <sup>4</sup> -Kind Code <sup>5</sup> (if<br>known) |                                   |  |   |                |
|                       | 1                           | WO 2004/054584 - A1  | 07-01-2004                        | Merck & Co., Inc.                                  |   |                |
|                       | 2                           | EP 0035868 - A   | 09-16-1981                        | Takeda Yakuhin Kogyo K.K.                          |   |                |
|                       | 3                           | WO 02/076964 - A   | 10-03-2002                        | Sanofi-Synthelabo                                  |   | X<br>Abs       |
|                       | 4                           | WO 97/25315 - A  | 07-17-1997                        | Sanofi   |   | X<br>Abs       |
|                       |                             |  |                                   |  |   |                |
|                       |                             |  |                                   |  |   |                |
|                       |                             |  |                                   |  |   |                |
|                       |                             |  |                                   |  |   |                |
|                       |                             |  |                                   |  |   |                |
|                       |                             |  |                                   |  |   |                |

Examiner  
SignatureDate  
Considered

\*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. <sup>1</sup> Applicant's unique citation designation number (optional). <sup>2</sup> See Kinds Codes of U.S. Patent Documents at [www.uspto.gov](http://www.uspto.gov) or MPEP 901.04. <sup>3</sup> Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). <sup>4</sup> For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. <sup>5</sup> Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST.16 if possible. <sup>6</sup> Applicant is to place a check mark here if English language translation is attached.

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 2 hours to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.

If you need assistance in completing the form, call 1-800-PTO-9199 (1-800-786-9199) and select option 2.

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

|   |                     |    |   |                          |                |
|---|---------------------|----|---|--------------------------|----------------|
| Substitute for form 1449/PTO<br><br><b>INFORMATION DISCLOSURE<br/>STATEMENT BY APPLICANT</b><br><br>(Use as many sheets as necessary) |                     |    |   | <b>Complete if Known</b> |                |
|   |                     |    |   | Application No.          | 10/823,377     |
|   |                     |    |   | Filing Date:             | April 12, 2004 |
|   |                     |    |   | First Named Inventor     | Groneberg      |
|   |                     |    |   | Art Unit                 | 1625           |
| Examiner Name   | Seaman, D. Margaret |    |   |                          |                |
| Attorney Docket Number  | 03-086-A            |    |   |                          |                |
| Sheet   | 2                   | of | 2 |                          |                |

| NON PATENT LITERATURE DOCUMENTS |                       |   |                |
|---------------------------------|-----------------------|---|----------------|
| Examiner Initials*              | Cite No. <sup>1</sup> | Include name of author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published | T <sup>2</sup> |
|                                 | 5                     | JESSELL et al., "Pain and Analgesia" in <u>Principles of Neural Science</u> , 3 <sup>rd</sup> Edition, 1991, E.R. Kandel, J.H. Schwartz, T.M. Jessell, editors, pp. 385-399.  |                |
|                                 | 6                     | M.J. MILLAN, "The Induction of Pain: An Integrative Review," <i>Prog. Neurobiol.</i> , 1999, 57:1-164.  |                |
|                                 | 7                     | REGOLI et al., "Pharmacology of Bradykinin and Related Kinins," <i>Pharmacological Rev.</i> , 1980, 32(1):1-46.   |                |
|                                 | 8                     | MENKE et al., "Expression Cloning of a Human B <sub>1</sub> Bradykinin Receptor," <i>J. Biol. Chem.</i> , 1994, 269:21583-21586.  |                |
|                                 | 9                     | HESS et al., "Cloning and Pharmacological Characterization of a Human Bradykinin (BK-2) Receptor," <i>Biochem. Biophys. Res. Commun.</i> , 1992, 184:260-268.   |                |
|                                 | 10                    | F. MARCEAU et al., "Kinin B <sub>1</sub> receptors: a review," <i>Immunopharmacology</i> , 1995, 30:1-26.   |                |
|                                 | 11                    | E.J. COREY et al., "Highly Enantioselective Borane Reduction of Ketones Catalyzed by Chiral Oxazaborolidines. Mechanism and Synthetic Implications," <i>J. Am. Chem. Soc.</i> , 1987, v. 109, pp. 5551-5553.  |                |
|                                 | 12                    | T. OHKUMA et al., "Practical Enantioselective Hydrogenation of Aromatic Ketones," <i>J. Am. Chem. Soc.</i> , 1995, v. 117, pp. 2675-2676.   |                |
|                                 | 13                    | THOMPSON et al., "Direct Conversion of Activated Alcohols to Azides Using Diphenyl Phosphoroazide. A Practical Alternative to Mitsunobu Conditions," <i>J. Org. Chem.</i> , 1993, 58 (22):5886-5888.  |                |
|                                 | 14                    | DG BATT et al., "Disubstituted Indazoles as Potent Antagonists of the Integrin $\alpha_v\beta_3$ ," <i>Journal of Medicinal Chemistry</i> , 2000, 43:41-58.   |                |
|                                 | 15                    | G. WAGNER et al., "Synthesis of 3-(p- and m-amidinophenyl)-3-arylsulfonylaminopropionic acid amide hydroiodides," <i>Chemical Abstracts</i> , March 29, 1982, 96(13), abstract no. 104710e.   |                |
|                                 | 16                    | G. WAGNER et al., "Synthese von 3-(p- und m-Amidinophenyl)-3-arylsulfonylaminopropionsäureamidhydroiodiden," <i>Pharmazie</i> , 1981, 36(9):607-609.  |                |

|                    |  |                 |  |
|--------------------|--|-----------------|--|
| Examiner Signature |  | Date Considered |  |
|--------------------|--|-----------------|--|

\*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. <sup>1</sup> Applicant's unique citation designation number (optional). <sup>2</sup> See Kinds Codes of U.S. Patent Documents at [www.uspto.gov](http://www.uspto.gov) or MPEP 901.04. <sup>3</sup> Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). <sup>4</sup> For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. <sup>5</sup> Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST.16 if possible. <sup>6</sup> Applicant is to place a check mark here if English language translation is attached.

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 2 hours to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.

If you need assistance in completing the form, call 1-800-PTO-9199 (1-800-786-9199) and select option 2.